

FORM PTO-1449

INFORMATION DISCLOSURE STATEMENT

ATTY DOCKET NO.

20488/25-CIP

SERIAL NO.

49/932,546

APPLICANT(S): Pospisilik, et al.

FILING DATE:

08/17/2001

ART UNIT

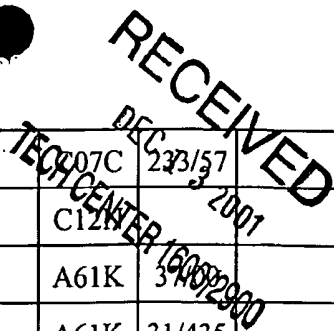
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UNITED STATES PATENT DOCUMENTS

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	AB	3,960,949	6-1976	Ahrens et al.	260	564 B	
	AC	5,433,955	7-1995	Bredchorst et al.	424	94.3	
	AD	5,614,379	3-1997	MacKellar	435	68.1	
	AE	5,624,894	4-1997	Bodor	514	2	
	AF	4,935,493	6-1990	Bachovchin et al.	530	331	
	AG	5,512,549	4-1996	Chen et al.	514	12	
MM	AH	6,006,753	12-1999	Efendic	128	898	8/21/97

FOREIGN PATENT DOCUMENTS

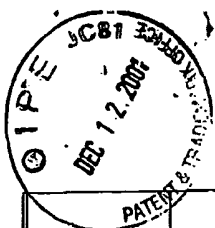
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MM	BA	WO 00/53171 ✓	9-14-00	WO	A61K	31/155	
	BB	DT 25 42598 A1 ✓	10-11-74	Germany	C07C	129/16	
	BC	FR 2696740 ✓	10-13-92	France	C07D	207/40 4	
	BD	FR 2085665 ✓	3-3-71	France	A61K	27/00	
	BE	WO 97/40832 ✓	11/6/97	WO	A61K	31/425	
MM	BF	WO 95/15309 ✓	6/8/95	WO	C07D	207/16	



mm	BG	4334357	✓	11/20/92	JP	C07K	233/57	
	BH	WO 93/08259	✓	4/29/93	WO	C12K	3/10/2900	
	BI	WO 95/11689	✓	5/4/95	WO	A61K	31/435	
	BJ	WO 97/45117	✓	12/4/97	WO	A61K	39/395	
	BK	DE 19/616486	✓	10/30/97	DE	A61K	031/67	
	BL	WO 95/29691	✓	11/09/95	WO	A61K	5	
	BM	WO 98/22494	✓	5/28/98	WO	C07K	005/00	
mm	BN	PCT 00/01849	✓	7/20/00	PCT	A61K	31/155	

OTHER DOCUMENTS (INCLUDING AUTHOR, TITLE, DATE, PERTINENT PAGES, ETC.)

mm	CA	Campbell, I.W. "New antidiabetic drugs, Sulphonylureas and metformin: efficacy and inadequacy". 3:33-51 (1990). SMITH-GORDON, NISHIMURA; "Sulphonylureas and Metformin: Efficacy and Inadequacy." 9/7/01, Page 36	✓
	CB	Mercla Index, 11 th Edition, Page 934	✓
	CC	Martindale the Extra, Pharmacopeia, Page 1619	✓
	CD	CHEMICAL ABSTRACTS, vol. 115. No. 15, 14. October 1991 (1991-10-14) Columbus, Ohio, US; abstract no. 149947q, SCHOEN EKKEHARD ET AL: "Dipeptidyl peptidase IV in the immune system. Effects of specific enzyme inhibitors on activity of dipeptidyl peptidase IV and proliferation of human lymphocytes" Suite 37; XP002114197 Zusammenfassung & BIOL. CHEM. HOPPE-SEYLER, Bd. 372, Nr. 5, 1991, Seiten 305-311,	✓
	CE	CHEMICAL ABSTRACTS, vol. 126, no. 2, 13. January 1997 (1997-01-13) Columbus, Ohio, US; abstract no. 16161j, STOECKEL A. ET AL: "Competitive inhibition of proline specific enzymes by amino acid thioxopyrrolidides and thiazolidides" Seite 241; XP002114198 Zusammenfassung & PEPT: CHEM., STRUCT.BIOL., PROC.AM.PEPT.SYMP., Nr. 14, 1995, Seiten 709-710,	✓
	CF	CHEMICAL ABSTRACTS, vol. 118, no. 25, 21. Juni 1993 (1993-06-21) Columbus, Ohio, US; abstract no. 255342k, Hosed, et al, "Preparation of N-(heterocyclic Carbonyl) Amen Acids and Analogs as Prolyl Endopeptidase Inhibitors". Seite 933; XP002114199 Zusammenfassung & JP 04 334357 A (FUJERE BIO INC) 20. November 1992 (1992-11-20)	✓
mm	CG	HEIHACHIRO ET AL: "Synthesis of prolyl endopeptidase inhibitors and evaluation of their structure-activity relationships : in vitro inhibition of prolyl endopeptidase from Caning Brain" CHEMICAL AND PHARMACEUTICAL BULLETIN., Bd. 41, Nr. 9,	✓



mm		1993, Seiten 1583-1588, XP002114196 PHARMACEUTICAL SOCIETY OF JAPAN. TOKYO., JP ISSN: 0009-2363 das ganze Dokument
	CH	J. Lin et al.: "Inhibition of depeptidyl peptidase IV by fluoroolefin-containing n-peptidyl-O-hydroxylamine peptidomimetics" PROCEEDINGS OF THE NATIONAL ACADEMY OF SCIENCES OF USA, Bd. 95, November 1998 (1998-11), Pages 14020-14024, XP002117450 WASHINGTON US Seite 14023, rechte Spalte, Absatz 1, linke Spalte, Absatz 1
	CI	KOROM, S., et al "Inhibition of CD26/dipeptidyl peptidase IV activity in vivo prolongs cardiac allograft survival in rat recipients, Transplantation 63", 1495 - 1500 No. 10 (1997)
mm	CJ	TANKA, S., et al., "Suppression of arthritis by the inhibitors of dipeptidyl peptidase IV". Int. J. Immunopharmacol". 19, 15 (1997), Vol 19 No. 1 Pages 15-24, 1997
mm	CK	MENTLEIN, R., DAHMS, P., GRANDT, D., KRUGER, R., "Proteolytic processing of neuropeptide Y and peptide YY by dipeptidyl peptidase IV". Regul. Pept. 49, 133 - 144(1993)
	CL	WETZL, W., WAGNER, T., VOGEL, D., DEMUTH, H.-U., BALSCHUN, D., "Effects of the CLIP fragment ACTH 20-24 on the duration of REM sleep episodes". Neuropeptides, 31, 41- 45 (1997)
	CM	AMASHEH, S., WENZEL, U., WEBER, W.M., CLAUSS, W., DANIEL, H., "Electrophysiological analysis of the function of the mammalian renal peptide transporter expressed in Xenopus Laevis oocytes". J. Physiol. 504, 169-174 (1997)
	CN	WAKSELMAN, M., NGUYEN, C., MAZALEYRAT, J.-P., CALLEBAUT, C., KRUST, B., HOVANESSIAN, A.G., "Inhibition of HIV-1 infection of CD 26+ but not CD26-cells by a potent cyclopeptidic inhibitor of the DPP IV activity of CD 26. Abstract P 44 of the 24th European Peptide Symposium 1996."
	CO	DURINX, C.; NEELS, H.; VAN DER AUWERA, JC.; NAELAERS, K.; SCHARPE, S.; DE MEESER I.; "Reference Values for Plasma Dipeptidyl-Pepidase IV activity and their Association with Other Laboratory Parameters". Clin Chem Lab Med 2001, February; 39 (2) :155-9, 1 Page.
	CP	GOSSRAU, R.; "Cytochemistry of Membrane Proteases". Histochem J, 1985, July; 17 (7) :737-71, 1 Page..
	CQ	HAHN, T.; GRAF, R.; ONEY, T.; "Enzyme Histochemical Evidence for the Presence of Potential Blood Pressure Regulating Proteases in Cultured Villous Explants from Human First Trimester Placentae". Acta Histochem 1993, December, 1995 (2):185-92.
	CR	HEYMANN, E.; MENTLEIN, R.; "Has Dipeptidyl Peptidase IV an Effect on Blood Pressure and Coagulation". Klin Wochenschr, 1984, January, 2;62 (1) :2-10.
mm	CS	MAGYAR, C.E.; ZHANG, Y.; HOLSTEIN-RATHLOU, N.H.; MCDONOUGH, A.A.; "Proximal Tubule Na Transporter Responses are the same during Acute and Chronic

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		Hypertension." Am J Physiol Renal Physiol, 2000, August; 279 (2) :F358-69, 1 Page.
mb	CT	PAPIES, B.; FRILLE, J.; GUNTHER, K.H.; WAGENKNECHT, C.; Isoenzyme (Lactate "Dehydrogenase, Aspartate Aminotransferase) and Dipeptidyl Peptidase IV Activity Changes in Blood Plasma Likely Indicative of Organ Involvement due to Arterial Hypertension." Cor Vasa, 1991; 33 (3) :218-26, 1 Page.
	CU	QURESJO. N.U.; DAYAO, E.K.; SHIRALI, S.; ZUKOWSKA-GROJEC, Z.; HAUSER, G. J., "Endogenous Neuropeptide Y Mediates Vasoconstriction during Endotoxic and Hemorrhagic Shock". Regul Pept, 1998, September 25; 75-76:215-20, 1 Page.
	CV	Index Nominum, International Drug Directory 1992/1993, Medpharm Scientific Publishers, Pages 728-729.
mb	CW	The Merck Index, 9 th Edition, 1976, Page 773
Examiner: <i>mb</i>		Date: 04/09/04

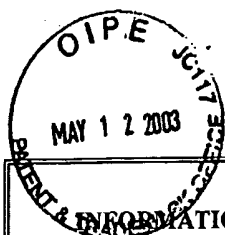
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				FILING DATE: August 17, 2001		ART UNIT: 1631	
UNITED STATES PATENT DOCUMENTS							
EXAM. INITIAL		DOCUMENT NUMBER	DATE	INVENTOR	CLASS	SUB CLASS	FIL. DATE IF APPR
ms	AA	6,011,155	01/04/2000	Villhauer	544	333	
	AB	6,107,317	08/22/2000	Villhauer	514	365	
	AC	6,110,949	08/29/2000	Villhauer	514	365	
	AD	6,124,305	09/26/2000	Villhauer	514	272	
	AE	6,172,081	01/09/2001	Damon	514	307	
	AF	5,705,483	01/06/1998	Galloway et al.	514	12	
	AG	2001/0025023 A1	09/27/2001	Carr	514	2	
ms	AH	6,548,481 B1	04/15/2003	Demuth et al.	514	19	11/28/00
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ms	CA	Wen-Tien Chen et al. "Seprase Complexes in Cellular Invasiveness", <i>Cancer and Metastasis Reviews</i> 22: 259-269, (2003).					
	CB	Victor A. Gault et al., "Glucose-Dependent Insulinotropic Polypeptide Analogues and Their Therapeutic Potential for the Treatment of Obesity-Diabetes", <i>Biochemical and Biophysical Research Communications</i> 308: 207-213, (2003).					
	CC	Lader, Malcolm H., MD, "Assessment Methods and the Different Diagnosis of Anxiety", <i>Journal of Clinical Psychopharmacology</i> , (1981), Vol. 1, No. 6, pp. 342-349.					
	CD	Winslow, R., "Novartis Drug Alters Picture for Diabetes" <i>Wall Street Journal</i> , Wed., December 27, 2000, p. B2.					
	CE	Ansorge, S., et al., "Membrane-bound peptidases of lymphocytes: Functional implications", <i>Biomed. Biochim. Acta</i> 50 (1991) 4-6, pp. 799-807.					
	CF	Dodge, R.W., et al., "Folding and Unfolding Kinetics of the Proline-to-Alanine Mutants of Bovine Pancreatic Ribonuclease A," <i>Biochemistry</i> 1996, 35, pp. 1548-1559.					
	CG	Demuth, Hans-Ulrich, "Recent Developments in Inhibiting Cysteine and Serine Proteases", <i>J. Enzyme Inhibition</i> , 1990, Vol. 3, pp. 249-278.					
	CH	Gomez, S., et al., "Relationship between endo- and exopeptidases in a processing enzyme system: Activation of an endoprotease by the aminopeptidase B-like activity in somatostatin-28 convertase", <i>Proc. Natl. Acad. Sci. USA</i> , Vol. 85 pp. 5468-5472, August 1988.					
ms	CI	Hegen, M., et al., "The T Cell Triggering Molecule Tp103 is Associated with Dipeptidyl Aminopeptidase IV Activity," <i>The Journal of Immunology</i> , vol. 144, pp. 2908-2914, No. 8, April 15, 1990.					
Examiner:				Date: 09/09/04			



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OTHER DOCUMENTS (INCLUDING AUTHOR, TITLE, DATE, PERTINENT PAGES, ETC.)			
MM	CJ	Ishiura, S., et al., "Identification of a putative amyloid A4-generating enzyme as a prolyl endopeptidase," <i>Federation of European Biochemical Societies</i> , Vol. 260, No. 1, pp. 131-134, January 1990.	
	CK	Kräusslich, Hans-Georg, et al., "Viral Proteinases", <i>Ann. Rev. Biochem.</i> 1988, 57 pp. 701-754.	
	CL	Pederson, R.A., et al., "Improved Glucose Tolerance in Zucker Fatty Rats by Oral Administration of the Dipeptidyl Peptidase IV Inhibitor Isoleucine Thiazolidide", <i>Diabetes</i> , Vol. 47, August 1998 pp. 1253-1258.	
	CM	Vanhoof, G., et al., "Proline motifs in peptides and their biological processing", <i>The FASEB Journal</i> , Vol. 9, June 1995, pp. 736-744.	
	CN	Walter, R., et al., "Proline Specific Endo- and Exopeptidases", <i>Molecular & Cellular Biochemistry</i> , Vol. 30, No. 2, April 18, 1980, pp. 111-127	
	CO	Kessler, Von Horst, "Konformation und biologische Wirkung von cyclischen Peptiden", <i>Angew. Chem.</i> 94 (1982) pp. 509-520.	
	CP	Kirschke, H. et al., "Proteinases 1: Lysosomal Cysteine Proteinases" <i>Protein Profile</i> , Vol. 2, Issue 14, 1995, pp. 1583-1634.	
	CQ	Yaron, A., et al., "Proline-Dependent Structural and Biological Properties of Peptides and Proteins" <i>Critical Reviews in Biochemistry and Molecular Biology</i> , 28(1), pp. 31-81 (1993).	
	CR	Vallee et al., "Larval Development of Tribolium Confusum in the Presence of Non-Naturally Occurring Amino Acids", Database CAPLUS on STN, Accession No.: 1963:75103, <i>Annales de l'ACFAS</i> (1962), 28, p. 26-27 (abstract).	
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MM	CT	Augustyns et al., "Pyrrolidides: Synthesis and Structure-Activity Relationship as Inhibitors of Dipeptidyl Peptidase IV", <i>Eur. J. Med. Chem</i> (1997), vol. 32, pages 301-309.	
Examiner:		Date:	



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UNITED STATES PATENT DOCUMENTS

EXAM. INITIAL		DOCUMENT NUMBER	DATE	INVENTOR	CLASS	SUB CLASS	FIL. DATE IF APPR
MM	AA	2,961,377	11/22/1960	Shapiro et al.	167	65	
	AB	3,174,901	03/23/1965	Sterne	167	65	
	AC	3,879,541	04/22/1975	Kabbe et al.	424	326	
	AD	3,960,949	06/01/1976	Ahrens et al.	260	564 B	
	AE	4,028,402	06/07/1977	Fischer et al.	260	501.14	
	AF	4,935,493	06/19/1990	Bachovchin et al.	530	331	
	AG	5,433,955	07/18/1995	Bredehorst et al.	424	94.3	
	AH	5,462,928	10/31/1995	Bachovchin et al.	514	19	
	AI	5,512,549	04/30/1996	Chen et al.	514	12	
	AJ	5,543,396	08/06/1996	Powers et al.	514	19	
	AK	5,614,379	03/25/1997	MacKellar	435	68.1	
	AL	5,624,894	04/29/1997	Bodor	514	2	
	AM	5,939,560	08/17/1999	Jenkins et al.	548	535	
	AN	6,006,753	12/28/1999	Efendic	128	898	
	AO	5,827,898	10/27/1998	Khandwala et al.	514	734	
	AP	6,201,132 B1	03/13/2001	Jenkins et al.	548	535	04/21/99
	AQ	6,303,661 B1	10/16/2001	Demuth et al.	514	866	04/24/97
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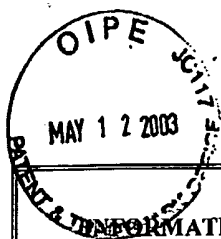
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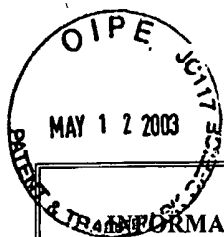


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		DOCUMENT NUMBER	DATE	COUNTRY	CLASS	SUB CLASS	TRAN Y/N
mm	BC	DT 25 42 598 A1	04/22/1976	Germany	C07C	129/16	N
	BD	FR 2 696 740 A1	04/15/1994	France	C07D	207/404	N
	BE	FR 2 085 665	12/31/1971	France	A61K	27/00	N
	BF	WO 97/40832	11/06/1997	PCT	A61K	31/425	Abstract only
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	BH	JP 4334357	11/20/1992	Japan	C07C	233/57	Abstract only
	BI	WO 93/08259	04/29/1993	PCT	C12N		Y
	BJ	WO 95/11689	05/04/1995	PCT	A61K	37/00	Y
	BK	WO 97/45117	12/04/1997	PCT	A61K	31/435	Y
	BL	DE 196 16 486 C2	10/30/1997	Germany	A61K	45/00	Y
	BM	WO 95/29691	11/09/1995	PCT	A61K	38/00	Y
	BN	WO 98/22494	05/28/1998	PCT	C07K	5/06	Y
	BO	WO 00/01849	01/13/2000	PCT	C12Q	1/68	Y
	BP	EP 0 658 568 A1	06/21/1995	EPO	C07K	14/605	Y
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	BR	DD 296 075 A5	11/21/1991	Germany	C07D	295/04	N
	BS	EP 0 708 179 A2	04/24/1996	EPO	C12N	15/16	Y
	BT	EP 0 995 440 A1	04/26/2000	EPO	A61K	31/425	N
	BU	WO 91/11457	08/08/1991	PCT	C07K	7/34	Y
	BV	WO 91/16339	10/31/1991	PCT	C07K	5/10	Y
	BW	WO 98/19998	05/14/1998	PCT	C07D	207/00	Y
	BX	WO 91/17767	11/28/1991	PCT	A61K	37/54	Y
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mm	BZ	WO 99/46272 A	09/16/1999	PCT	C07F	9/572	Y
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	BAE	WO 00/10549	03/02/2000	PCT	A61K	31/00	Y
	BAF	WO 01/74299 A2	10/11/2001	PCT	A61K		Y
	BAG	WO 02/20825 A1	03/14/2002	PCT	C12Q	1/00	Y
	BAH	WO 01/89569 A1	11/29/2001	PCT	A61K	45/06	Y
	BAI	WO 99/62914	12/09/1999	PCT	C07F	5/02	Y
	BAJ	WO 99/61431	12/02/1999	PCT	C07D	277/04	Abstract Only-
	BAK	EP 1 130 022 A1	09/15/2001	EPO	C07D	498/09	Y
	BAL	WO 01/32624 A1	05/10/2001	PCT	C07D	217/04	Y
	BAM	WO 01/09169 A2	02/08/2001	PCT	C07K	5/062	Y
mm	BAN	WO 01/94310 A1	12/13/2001	PCT	C07D	209/12	Y

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mm	CA	Campbell, I.W. <u>New Antidiabetic Drugs</u> , ed. C.J. Bailey & P.R. Flatt, Smith-Gordon, "Sulphonylureas and metformin: efficacy and inadequacy". 3:33-51 (1990).
	CB	<u>CHEMICAL ABSTRACTS</u> , vol. 115, No. 15, 14, October 1991 (1991-10-14) Columbus, Ohio, US; abstract no. 149947q, SCHOEN EKKEHARD ET AL: "Dipeptidyl peptidase IV in the immune system. Effects of specific enzyme inhibitors on activity of dipeptidyl peptidase IV and proliferation of human lymphocytes"
	CC	<u>CHEMICAL ABSTRACTS</u> , vol. 118, no. 25, 21, June 1993 (1993-06-21) Columbus, Ohio, US; abstract no. 255342k, Hosoda, et al, "Preparation of N-(heterocyclic Carbonyl) Amino Acids and Analogs as Prolyl Endopeptidase Inhibitors", November 1992 (1992-11-20)
	CD	<u>CHEMICAL ABSTRACTS</u> , vol. 126, no. 2, 13, January 1997 (1997-01-13) Columbus, Ohio, US; abstract no. 16161j, STOECKEL A. ET AL: "Competitive inhibition of proline specific enzymes by amino acid thioxopyrrolidides and thiazolidides".
mm	CE	Martindale The Extra Pharmacopoeia, 30 th Edition, London Pharmaceutical Press, 1993, Page 1619.

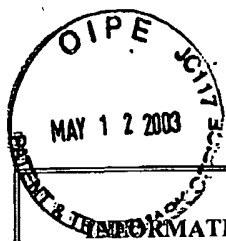
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mm	CF.	AMASHEH, S., et al., "Electrophysiological analysis of the function of the mammalian renal peptide transporter expressed in <i>Xenopus Laevis</i> oocytes". <u>J. Physiol.</u> 504, 169-174 (1997)	
	CG	Arai ET AL., "Synthesis of prolyl endopeptidase inhibitors and evaluation of their structure-activity relationships : in vitro inhibition of prolyl endopeptidase from Canine Brain" <u>CHEMICAL AND PHARMACEUTICAL BULLETIN.</u> , Bd. 41, No. 9, 1993, pages. 1583-1588.	
	CH	DURINX, C.; et al.; "Reference Values for Plasma Dipeptidyl-Pepidase IV activity and their Association with Other Laboratory Parameters". <u>Clin Chem Lab Med</u> 2001, February; 39 (2) :155-9, 1 page.	
	CI	GOSSRAU, R.; "Cytochemistry of Membrane Proteases". <u>Histochem J</u> , 1985, July; 17 (7) :737-71, 1 page.	
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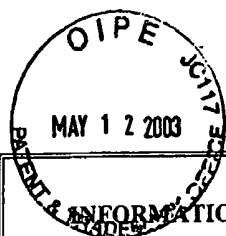


FORM PTO-1449 INFORMATION DISCLOSURE STATEMENT		ATTY. DOCKET NO. 20488/25-CIP	SERIAL NO. 09/932,546
		APPLICANT(S): Pospisilik et al.	
		FILING DATE: August 17, 2001	ART UNIT: 1631
OTHER DOCUMENTS (INCLUDING AUTHOR, TITLE, DATE, PERTINENT PAGES, ETC.)			
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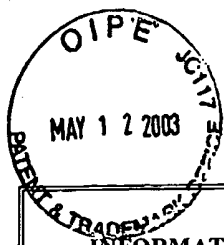


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mm	CBC	Bergmeier, Stephen C., <u>Tetrahedron</u> , Elsevier Science Ltd., "The Synthesis of Vicinal Amino Alcohols", Vol. 56, No. 17, (2000), pages 2561-2576.	
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